

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

REC'D 17 JAN 2006

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Applicant's or agent's file reference WS04069DAP	FOR FURTHER ACTION See Form PCT/IPEA/416	
International application No. PCT/KR2004/003327	International filing date(day/month/year) 17 DECEMBER 2004 (17.12.2004)	Priority date (day/month/year) 18 DECEMBER 2003 (18.12.2003)
International Patent Classification (IPC) or national classification and IPC C07D 413/14(2006.01)i		
Applicant DONG-A PHARM.CO.,LTD. et al		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 3 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

a. ☐ (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:

☐ sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).

☐ sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.

b. ☐ (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____ containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains indications relating to the following items:

☒ Box No. I Basis of the report

☐ Box No. II Priority

☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability



☐ Box No. IV Lack of unity of invention

☒ Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

☐ Box No. VI Certain documents cited

☐ Box No. VII Certain defects in the international application

☐ Box No. VIII Certain observations on the international application

Date of submission of the demand 13 OCTOBER 2005 (13.10.2005)	Date of completion of this report 09 JANUARY 2006 (09.01.2006)
Name and mailing address of the IPEA/KR  Korean Intellectual Property Office 920 Dunsan-dong, Seo-gu, Daejeon 302-701, Republic of Korea Facsimile No. 82-42-472-7140	Authorized officer PARK, Hyung Dal Telephone No. 82-42-481-5610 

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International application No.

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Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☒ This report is based on translations from the original language into the following language English, which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
- ☒ publication of the international application (under Rule 12.4)
- ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
- ☒ the international application as originally filed/furnished
- ☐ the description:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____
- ☐ the claims:
pages _____ as originally filed/furnished
pages* _____ as amended (together with any statement) under Article 19
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____
- ☐ the drawings:
pages _____ as originally filed/furnished
pages* _____ received by this Authority on _____
pages* _____ received by this Authority on _____
- ☐ the sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages _____
- ☐ the claims, Nos. _____
- ☐ the drawings, sheets _____
- ☐ the sequence listing (*specify*): _____
- ☐ any table(s) related to sequence listing (*specify*): _____

* If item 4 applies, some or all of those sheets may be marked "superseded."

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**1. Statement**

Novelty (N)	Claims		YES
	Claims	1-3, 8, 9, 11, 12	NO
Inventive step (IS)	Claims		YES
	Claims	1 ~ 16	NO
Industrial applicability (IA)	Claims	1 ~ 16	YES
	Claims		NO

2. Citations and explanations (Rule 70.7)**The following documents are referred to in this report:**

- D1: EP 0352781 A2 (E.I. DU PONT DE NEMOURS AND COMPANY) 31 JAN. 1990
D2: BAE et. al. 'High-performance liquid chromatographic analysis of DA-7867, a new oxazolidinone, in human plasma and urine and in rat tissue homogenates' In: Journal of Chromatography B, 5 Sep. 2003, 794, p.397-403
D3: WO 03022824 A1 (ASTRAZENECA UK LIMITED) 20 MARCH 2003
D4: WO 0194342 A1 (DONGA PHARM. CO., LTD.) 13 DEC. 2001

The present invention relates to novel derivatives of oxazolidinone, preparation methods of the same, and pharmaceutical compositions comprising the oxazolidinone derivatives for use in an antibiotic. The oxazolidinone derivatives of the present invention show inhibitory activity against a broad spectrum of bacteria and lower toxicity.

D1 discloses aminomethyloxooxazolidinyl arylbenzene derivatives, wherein the aryl includes the phenyl, substituted phenyl, pyridyl, and substituted pyridyl groups, useful as antibacterial agents. D2 relates to an HPLC method for the determination of a new oxazolidinone, DA-7867, in human plasma and urine and in rat tissue homogenates.

D3 describes oxazolidinone and/or isoxazoline derivatives, processes for their manufacture and pharmaceutical compositions containing them as antibacterial agents.

D4 provides oxazolidinone derivatives having pyridine or pyrimidine moiety substituted by heterocycle at 4-position of phenyl ring. The compound of D4 has wide antibacterial spectrum, superior antibacterial activity and low toxicity.

1. Novelty: The subject matter of claims 1-3, 8, 9, 11 and 12 is already known from D1 (compound IX) and D2 (compounds I(DA-7867) and DA-7858). Thus, claims 1-3, 8, 9, 11 and 12 are neither novel nor inventive (PCT Article 33(2) and (3)).

2. Inventive Step: The novel derivatives of oxazolidinone, preparation methods of the same, and pharmaceutical compositions comprising the same for use in an antibiotic described in this application (the subject matter of claims 1-16) are generally known from D1, D3 and D4. Documents D1, D3 and D4 do not individually disclose all of the features of the present invention, but it would have been obvious to a person skilled in the art to disclose most of the features of the present invention by combining D4 with D1 and D3. Therefore, claims 1-16 lack an inventive step under PCT Article 33(3).

3. Industrial Applicability: The invention claimed in claims 1-16 can be used in the industry. Therefore, claims 1-16 are industrially applicable according to PCT Article 33(4).